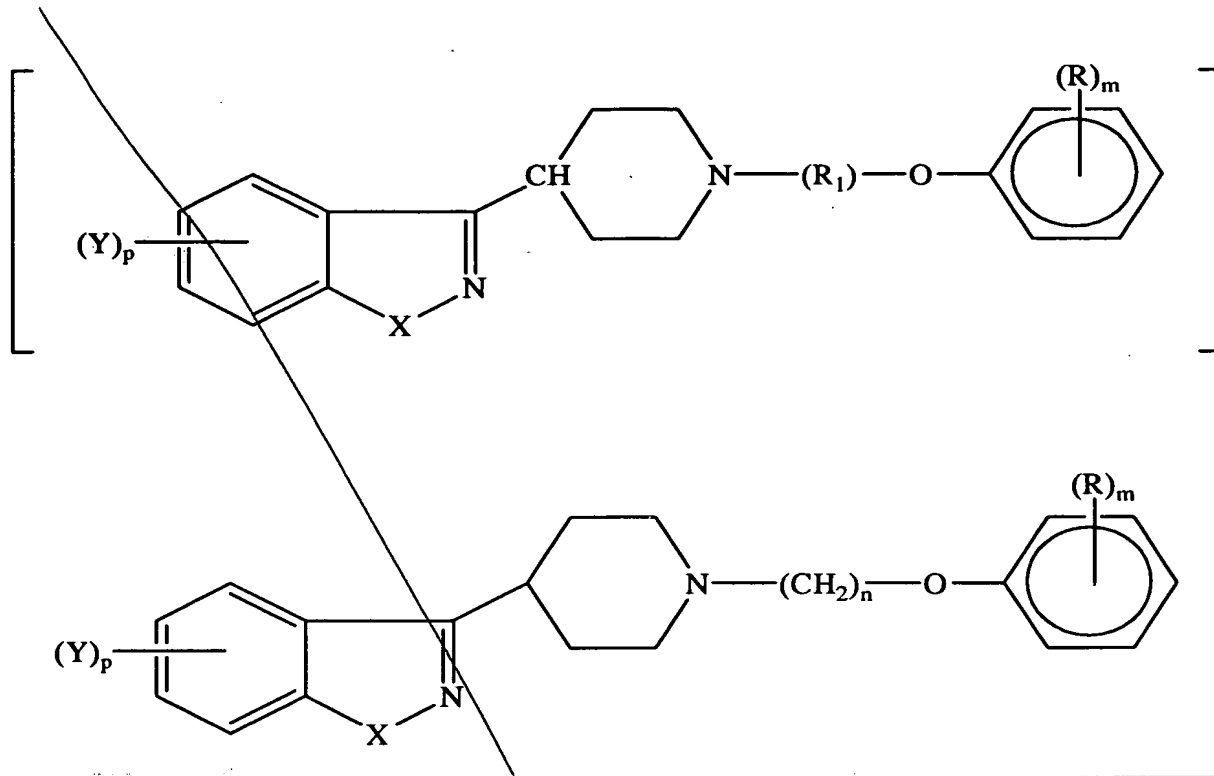


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wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy[, hydroxy and halogen] when p is 2 and X is -O-;

[(R₁) is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where n is 2, 3, 4 or 5;

[R₂₁ is

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cf
-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

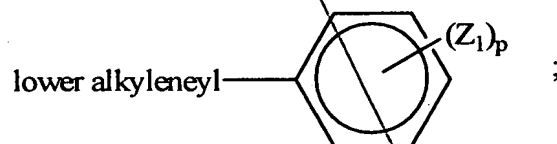
-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

Sub
pl
-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R₂₂ is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



where Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂,
-NH₂ or halogen;]

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, [monoalkylaminocarbonyl, dialkylaminocarbonyl, formyl,] -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, or

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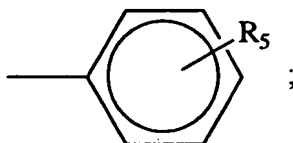
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~~-CH(OR₇)-alkyl; [-CH(OR⁷)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, and~~

~~-C(=W)-heteroaryl;]~~

wherein alkyl is lower alkyl;

aryl is phenyl or



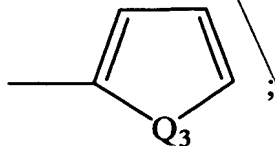
wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, [lower dialkylamino,] nitro, cyano,

trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

[W is CH₂ or CHR₈ or N-R₉ ;]

R₇ is hydrogen, lower alkyl, or acyl;

[R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀ ; and

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R_{10} is hydrogen, lower alkyl, C_1 - C_3 acyl, aryl,

$-C(=O)$ -aryl, or $-C(=O)$ -heteroaryl,

where aryl and heteroaryl are as defined above;]

and

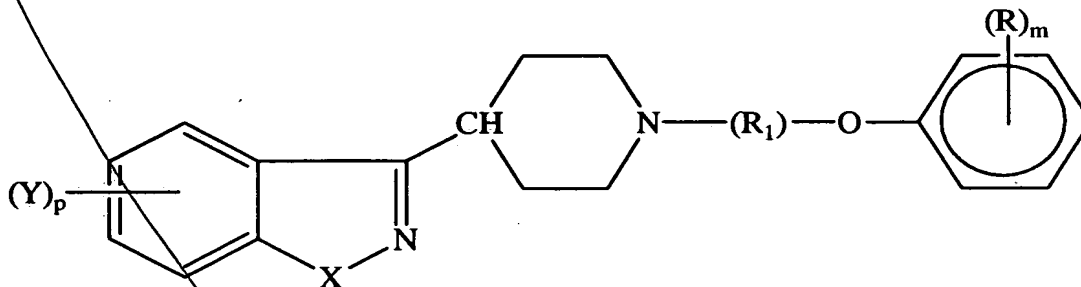
m is 1, 2, or 3;

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.

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80. (Amended three times) A compound as claimed in claim 1 [of the formula:



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

(R₁) is R₂₀, R₂₁, or R₂₂, wherein:

R₂₀ is -(CH₂)_n- where n is 2, 3, 4 or 5;

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

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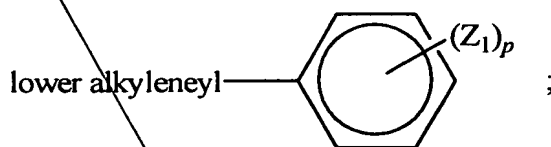
$-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-\text{CH}_2-$, or

$-\text{CH}_2-\text{CH}_2-\text{C}\equiv\text{C}-\text{CH}_2-$,

the $-\text{CH}=\text{CH}-$ bond being cis or trans;

R_{22} is R_{20} or R_{21} in which one or more carbon atoms of R_{20} or R_{21} are

substituted by at least one $\text{C}_1\text{-C}_6$ linear alkyl group, phenyl group or



where Z_1 is lower alkyl, $-\text{OH}$, lower alkoxy, $-\text{CF}_3$, $-\text{NO}_2$,

$-\text{NH}_2$ or halogen; and R and m are as defined

hereinafter;

m is 1, 2, or 3; and

when m is 1, 2, or 3, R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl,

chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino,

nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl, $-\text{C}(=\text{O})\text{-alkyl}$, $-\text{C}(=\text{O})\text{-O-alkyl}$,

$-\text{C}(=\text{O})\text{-aryl}$, $-\text{C}(=\text{O})\text{-heteroaryl}$, $-\text{CH}(\text{OR}^7)\text{-alkyl}$, $-\text{C}(=\text{W})\text{-alkyl}$,

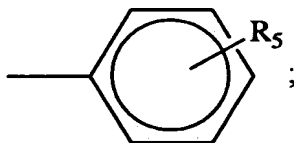
$-\text{C}(=\text{W})\text{-aryl}$, and $-\text{C}(=\text{W})\text{-heteroaryl}$;

alkyl is lower alkyl;

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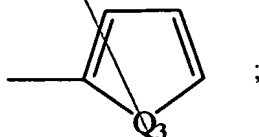
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aryl is phenyl or



where R_5 is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, trifluoromethoxy;

heteroaryl is



Q_3 is $-O-$, $-S-$, $-NH-$, $-CH=N-$;

W is CH_2 or CHR_8 or $N-R_9$;

R_7 is hydrogen, lower alkyl, or acyl;

R_8 is lower alkyl;

R_9 is hydroxy, lower alkoxy, or $-NHR_{10}$; and

R_{10} is hydrogen, lower alkyl, C_1-C_3 acyl, aryl,

$-C(=O)-$ aryl or $-C(=O)-$ heteroaryl,

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where aryl and heteroaryl are as defined above;

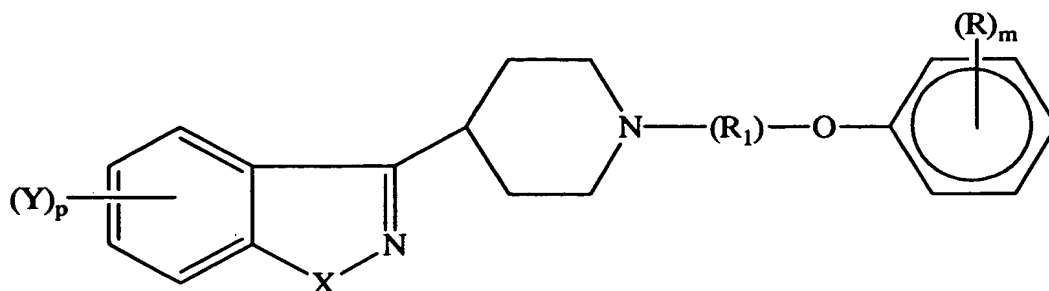
and]

with the proviso that when m is 3, R is not $-C(=O)$ -heteroaryl [or

$-C(=W)$ -heteroaryl,];

[all geometric, optical and stereoisomers thereof,] or a pharmaceutically acceptable
acid addition salt thereof.

87. (Amended) A compound of the formula



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy and halogen when p is 2 and X is -O-;

(R₁) is

-CH₂-CH=CH-CH₂-;

-CH₂-C≡C-CH₂-;

-CH₂-CH=CH-CH₂-CH₂-;

-CH₂-CH₂-CH=CH-CH₂-;

-CH₂-C≡C-CH₂-CH₂-; or

-CH₂-CH₂-C≡C-CH₂-;

the -CH=CH- bond being cis or trans;

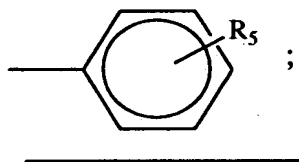
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C³
R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine, bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl, aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl, -C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

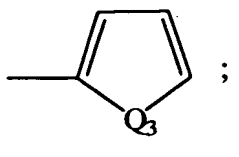
wherein alkyl is lower alkyl;

aryl is phenyl or



wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy, chlorine, fluorine, bromine, iodine, lower monoalkylamino, lower dialkylamino, nitro, cyano, trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

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W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

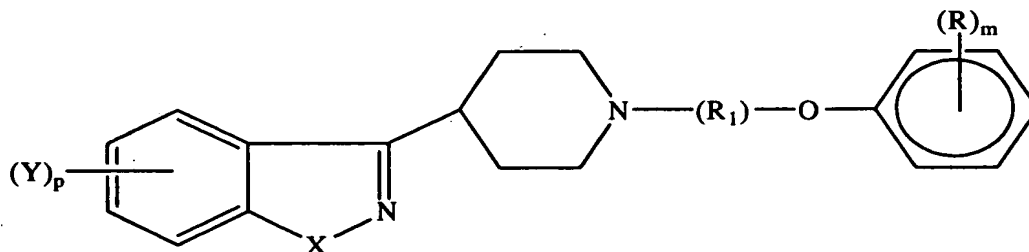
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.

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104. (Amended) A compound of the formula



wherein

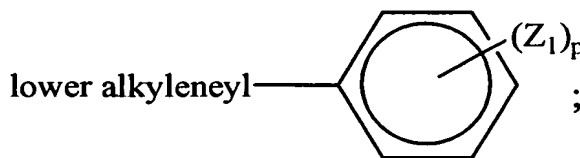
X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine, lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;

(R₁) is R₂₀ or R₂₁ in which one or more carbon atoms of R₂₀ or R₂₁ are substituted by at least one C₁-C₆ linear alkyl group, phenyl group or



wherein Z₁ is lower alkyl, -OH, lower alkoxy, -CF₃, -NO₂, -NH₂, or

halogen;

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R₂₀ is -(CH₂)_n-, wherein n is 2, 3, 4 or 5:

R₂₁ is

-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-,

-CH₂-CH=CH-CH₂-CH₂-,

-CH₂-CH₂-CH=CH-CH₂-,

-CH₂-C≡C-CH₂-CH₂-, or

-CH₂-CH₂-C≡C-CH₂-,

the -CH=CH- bond being cis or trans;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower

alkyl thio, trifluoromethoxy, cyano, acylamino, trifluoromethyl,

trifluoroacetyl, aminocarbonyl, monoalkylaminocarbonyl,

dialkylaminocarbonyl, formyl, -C(=O)-alkyl, -C(=O)-O-alkyl,

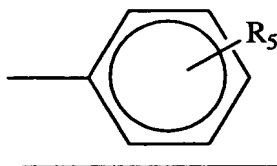
-C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,

-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

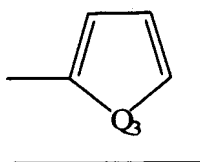
aryl is phenyl or

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C⁴

wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,
chlorine, fluorine, bromine, iodine, lower
monoalkylamino, lower dialkylamino, nitro, cyano,
trifluoromethyl, or trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl, or -C(=O)-heteroaryl,

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wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

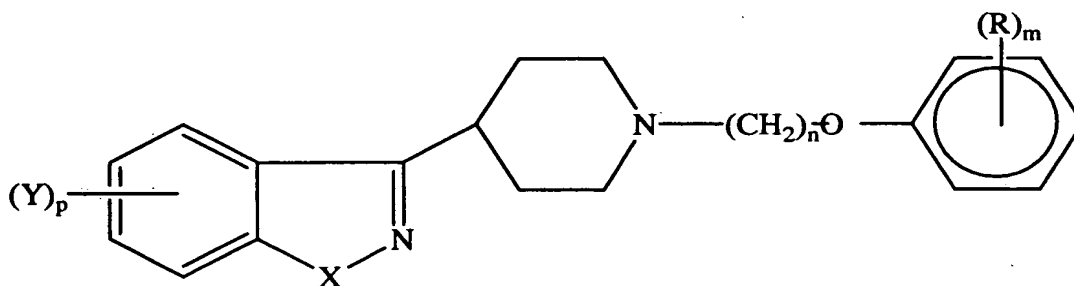
all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.

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132. (Amended) A compound of the formula



wherein

X is -O- or -S-;

p is 1 or 2;

Y is hydrogen, lower alkyl, hydroxy, chlorine, fluorine, bromine, iodine,

lower alkoxy, trifluoromethyl, nitro, or amino, when p is 1;

Y is lower alkoxy, hydroxy or halogen when p is 2 and X is -O-;

n is 2, 3, 4 or 5;

R is hydrogen, lower alkyl, lower alkoxy, hydroxyl, carboxyl, chlorine, fluorine,

bromine, iodine, amino, lower mono or dialkylamino, nitro, lower alkyl thio,

trifluoromethoxy, cyano, acylamino, trifluoromethyl, trifluoroacetyl,

aminocarbonyl, dialkylaminocarbonyl, formyl, -C(=O)-alkyl,

-C(=O)-O-alkyl, -C(=O)-aryl, -C(=O)-heteroaryl, -CH(OR₇)-alkyl,

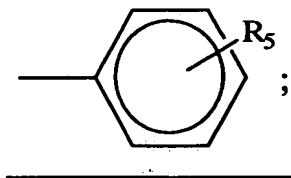
-C(=W)-alkyl, -C(=W)-aryl, or -C(=W)-heteroaryl;

wherein alkyl is lower alkyl;

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aryl is phenyl or



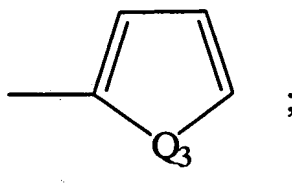
wherein R₅ is hydrogen, lower alkyl, lower alkoxy, hydroxy,

chlorine, fluorine, bromine, iodine, lower

monoalkylamino, nitro, cyano, trifluoromethyl, or

trifluoromethoxy;

heteroaryl is



wherein Q₃ is -O-, -S-, -NH-, or -CH=N-;

W is CH₂ or CHR₈ or N-R₉;

R₇ is hydrogen, lower alkyl, or acyl;

R₈ is lower alkyl;

R₉ is hydroxy, lower alkoxy, or -NHR₁₀; and

R₁₀ is hydrogen, lower alkyl, C₁-C₃ acyl, aryl,

-C(=O)-aryl or -C(=O)-heteroaryl;

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wherein aryl and heteroaryl are as defined above;

and

m is 1, 2, or 3;

with the proviso that at least one R is selected from the group consisting of

dialkylaminocarbonyl, formyl, -C(=W)-alkyl, -C(=W)-aryl, and

-C(=W)-heteroaryl;

all geometric, optical and stereoisomers thereof, or a pharmaceutically acceptable

acid addition salt thereof.
